```
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TERMINAL (ENTER 1, 2, 3, OR ?):2


* * * * * * * * * *   Welcome to STN International   * * * * * * * * * *

 NEWS  1          Web Page URLs for STN Seminar Schedule - N. America
 NEWS  2          "Ask CAS" for self-help around the clock
 NEWS  3  FEB 27  New STN AnaVist pricing effective March 1, 2006
 NEWS  4  APR 04  STN AnaVist $500 visualization usage credit offered
 NEWS  5  MAY 10  CA/CAplus enhanced with 1900-1906 U.S. patent records
 NEWS  6  MAY 11  KOREAPAT updates resume
 NEWS  7  MAY 19  Derwent World Patents Index to be reloaded and enhanced
 NEWS  8  MAY 30  IPC 8 Rolled-up Core codes added to CA/CAplus and
                  USPATFULL/USPAT2
 NEWS  9  MAY 30  The F-Term thesaurus is now available in CA/CAplus
 NEWS 10  JUN 02  The first reclassification of IPC codes now complete in
                  INPADOC
 NEWS 11  JUN 26  TULSA/TULSA2 reloaded and enhanced with new search and
                  and display fields
 NEWS 12  JUN 28  Price changes in full-text patent databases EPFULL and PCTFULL

 NEWS EXPRESS  JUNE 30 CURRENT WINDOWS VERSION IS V8.01b, CURRENT
               MACINTOSH VERSION IS V6.0c(ENG) AND V6.0Jc(JP),
               AND CURRENT DISCOVER FILE IS DATED 26 JUNE 2006.

 NEWS HOURS    STN Operating Hours Plus Help Desk Availability
 NEWS LOGIN    Welcome Banner and News Items
 NEWS IPC8     For general information regarding STN implementation of IPC 8
 NEWS X25      X.25 communication option no longer available

Enter NEWS followed by the item number or name to see news on that
specific topic.

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  ************************************************************
  *   Due to scheduled maintenance of STN on Sunday, July 9, 2006,   *
  *   some databases may not be available until 04:00 (4:00 AM)      *
  *   Eastern Daylight Time.                                         *
  ************************************************************

* * * * * * * * * * * * * * * STN  Columbus  * * * * * * * * * * * * * * *

FILE 'HOME' ENTERED AT 10:49:37 ON 05 JUL 2006

=> fil reg
COST IN U.S. DOLLARS                                SINCE FILE      TOTAL
                                                       ENTRY    SESSION
FULL ESTIMATED COST                                     0.21       0.21
```

FILE 'REGISTRY' ENTERED AT 10:49:42 ON 05 JUL 2006
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
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Property values tagged with IC are from the ZIC/VINITI data file
provided by InfoChem.

STRUCTURE FILE UPDATES: 4 JUL 2006 HIGHEST RN 890521-76-3
DICTIONARY FILE UPDATES: 4 JUL 2006 HIGHEST RN 890521-76-3

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TSCA INFORMATION NOW CURRENT THROUGH January 6, 2006

Please note that search-term pricing does apply when
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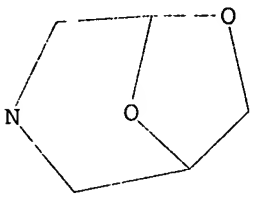
REGISTRY includes numerically searchable data for experimental and
predicted properties as well as tags indicating availability of
experimental property data in the original document. For information
on property searching in REGISTRY, refer to:

http://www.cas.org/ONLINE/UG/regprops.html

=>
Uploading C:\Program Files\Stnexp\Queries\10518689 very broad.str

L1 STRUCTURE UPLOADED

=> d l1
L1 HAS NO ANSWERS
L1 STR



Structure attributes must be viewed using STN Express query preparation.

=> s l1
SAMPLE SEARCH INITIATED 10:49:57 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 139 TO ITERATE

100.0% PROCESSED 139 ITERATIONS 48 ANSWERS
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**
BATCH **COMPLETE**
PROJECTED ITERATIONS: 2073 TO 3487
PROJECTED ANSWERS: 545 TO 1375

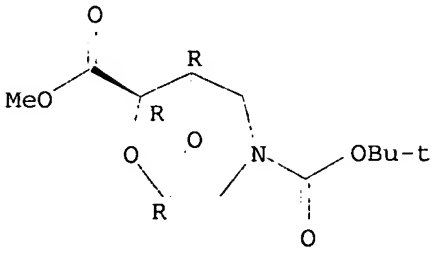
L2 48 SEA SSS SAM L1

=> d l2 1-10 cn rn str

L2 ANSWER 1 OF 48 REGISTRY COPYRIGHT 2006 ACS on STN

CN 6,8-Dioxa-3-azabicyclo[3.2.1]octane-3,7-dicarboxylic acid, 3-(1,1-dimethylethyl) 7-methyl ester, (1R,5R,7R)- (9CI) (CA INDEX NAME)
RN 875533-87-2 REGISTRY

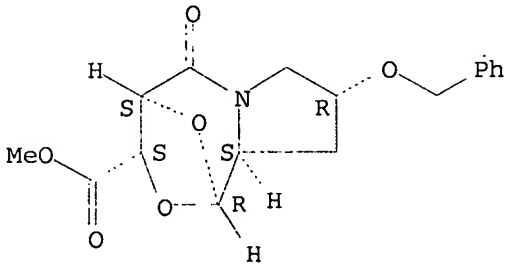
Absolute stereochemistry.



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

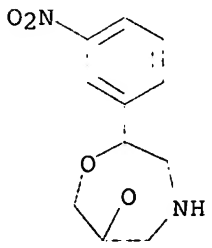
L2 ANSWER 2 OF 48 REGISTRY COPYRIGHT 2006 ACS on STN
CN 1,4-Epoxy-1H,3H-pyrrolo[2,1-c][1,4]oxazepine-3-carboxylic acid, hexahydro-5-oxo-8-(phenylmethoxy)-, methyl ester, (1R,3S,4S,8R,9aS)- (9CI) (CA INDEX NAME)
RN 869649-27-4 REGISTRY

Absolute stereochemistry.



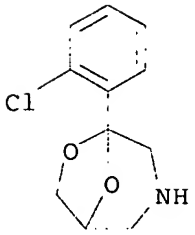
PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L2 ANSWER 3 OF 48 REGISTRY COPYRIGHT 2006 ACS on STN
CN 6,8-Dioxa-3-azabicyclo[3.2.1]octane, 5-(3-nitrophenyl)- (9CI) (CA INDEX NAME)
RN 785730-93-0 REGISTRY



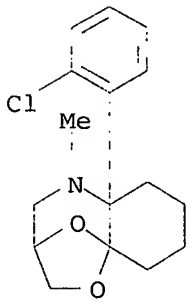
PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L2 ANSWER 4 OF 48 REGISTRY COPYRIGHT 2006 ACS on STN
CN 6,8-Dioxa-3-azabicyclo[3.2.1]octane, 5-(2-chlorophenyl)- (9CI) (CA INDEX NAME)
RN 784093-87-4 REGISTRY



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

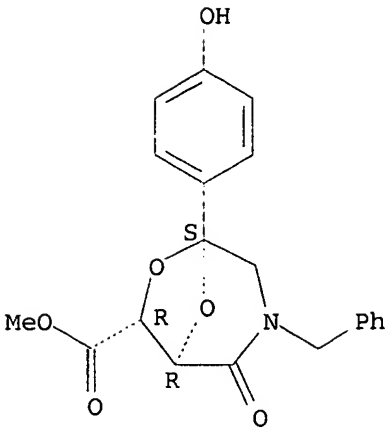
L2 ANSWER 5 OF 48 REGISTRY COPYRIGHT 2006 ACS on STN
CN 2H-3,9a-Epoxy-1,5-benzoxazepine, 5a-(2-chlorophenyl)octahydro-5-methyl-, (3α,5aα,9aα)- (9CI) (CA INDEX NAME)
RN 748734-08-9 REGISTRY



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L2 ANSWER 6 OF 48 REGISTRY COPYRIGHT 2006 ACS on STN
CN 6,8-Dioxa-3-azabicyclo[3.2.1]octane-7-carboxylic acid, 5-(4-hydroxyphenyl)-2-oxo-3-(phenylmethyl)-, methyl ester, (1R,5S,7R)- (9CI) (CA INDEX NAME)
RN 677353-48-9 REGISTRY

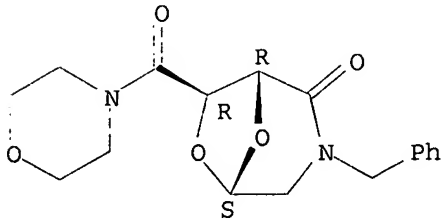
Absolute stereochemistry.



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

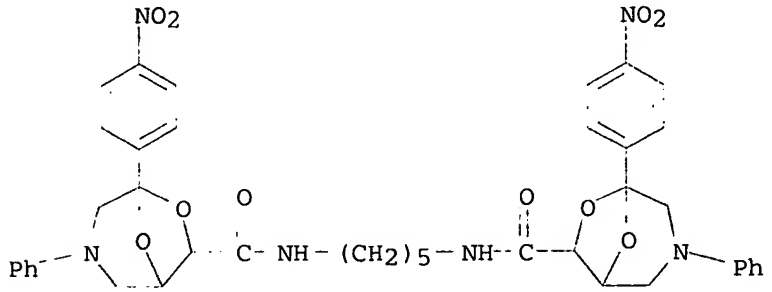
L2 ANSWER 7 OF 48 REGISTRY COPYRIGHT 2006 ACS on STN
CN Morpholine, 4-[[(1R,5S,7R)-2-oxo-3-(phenylmethyl)-6,8-dioxa-3-azabicyclo[3.2.1]oct-7-yl]carbonyl]- (9CI) (CA INDEX NAME)
RN 664375-56-8 REGISTRY

Absolute stereochemistry.



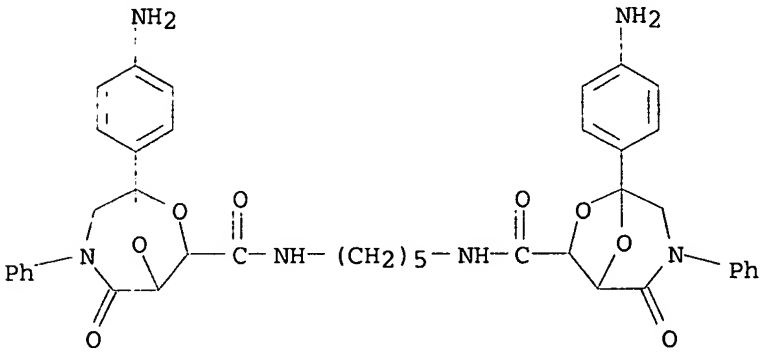
PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L2 ANSWER 8 OF 48 REGISTRY COPYRIGHT 2006 ACS on STN
CN 6,8-Dioxa-3-azabicyclo[3.2.1]octane-7-carboxamide, N,N'-1,5-pentanediylbis[5-(4-nitrophenyl)-3-phenyl- (9CI) (CA INDEX NAME)
RN 639474-79-6 REGISTRY



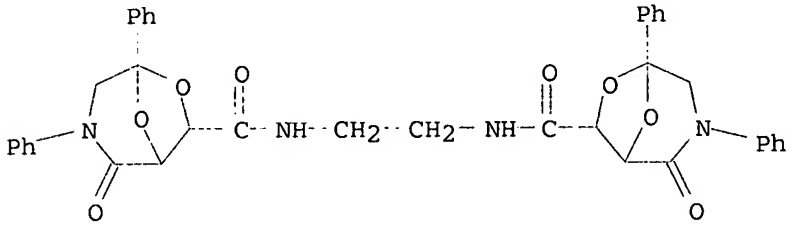
PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L2 ANSWER 9 OF 48 REGISTRY COPYRIGHT 2006 ACS on STN
CN 6,8-Dioxa-3-azabicyclo[3.2.1]octane-7-carboxamide, N,N'-1,5-pentanediylbis[5-(4-aminophenyl)-2-oxo-3-phenyl- (9CI) (CA INDEX NAME)
RN 639474-73-0 REGISTRY



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L2 ANSWER 10 OF 48 REGISTRY COPYRIGHT 2006 ACS on STN
CN 6,8-Dioxa-3-azabicyclo[3.2.1]octane-7-carboxamide, N,N'-1,2-ethanediylbis[2-oxo-3,5-diphenyl- (9CI) (CA INDEX NAME)
RN 639474-60-5 REGISTRY

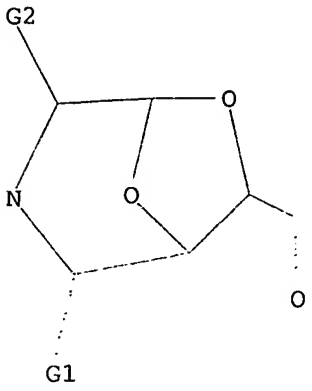


PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

=>
Uploading C:\Program Files\Stnexp\Queries\10518689 broad.str

L3 STRUCTURE UPLOADED

=> d 13
L3 HAS NO ANSWERS
L3 STR



G1 H,O,S

G2 H,Ak

Structure attributes must be viewed using STN Express query preparation.

```
=> s l3
SAMPLE SEARCH INITIATED 11:00:31 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED -       55 TO ITERATE

100.0% PROCESSED       55 ITERATIONS                              35 ANSWERS
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS:  ONLINE  **COMPLETE**
                        BATCH   **COMPLETE**
PROJECTED ITERATIONS:          656 TO     1544
PROJECTED ANSWERS:             346 TO     1054

L4           35 SEA SSS SAM L3

=> s l3 full
FULL SEARCH INITIATED 11:00:51 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED -     1131 TO ITERATE

100.0% PROCESSED     1131 ITERATIONS                            776 ANSWERS
SEARCH TIME: 00.00.01

L5          776 SEA SSS FUL L3

=> fil caplus
COST IN U.S. DOLLARS                              SINCE FILE      TOTAL
                                                     ENTRY    SESSION
FULL ESTIMATED COST                                 193.86     194.07

FILE 'CAPLUS' ENTERED AT 11:01:22 ON 05 JUL 2006
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FILE LAST UPDATED: 4 Jul 2006 (20060704/ED)

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http://www.cas.org/infopolicy.html

=> s l5
L6 27 L5

=> d l6 ibib abs 1-27

L6 ANSWER 1 OF 27 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2006:117206 CAPLUS
DOCUMENT NUMBER: 144:205807
TITLE: Pharmaceutical compositions for treating disorders of the skin
INVENTOR(S): Bruinsma, Gosse B.
PATENT ASSIGNEE(S): Axonyx, Inc., USA
SOURCE: PCT Int. Appl., 39 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

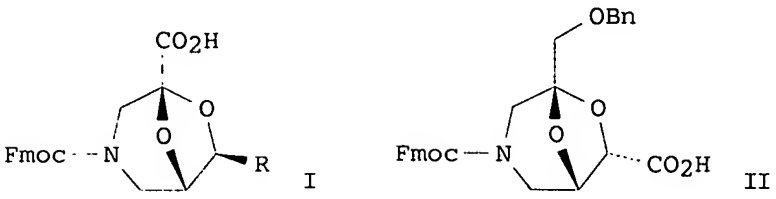
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006015183	A2	20060209	WO 2005-US26909	20050729
WO 2006015183	A3	20060504		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

PRIORITY APPLN. INFO.: US 2004-592411P P 20040730
OTHER SOURCE(S): MARPAT 144:205807
AB The invention relates to a method of treating a decubitus ulcer in a subject and a method of identifying a compound useful in the treatment of decubitus. In addition, active dressings, ointments and/or lotions having a means for improving healing of the decubitus ulcer are provided.

L6 ANSWER 2 OF 27 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2005:1174211 CAPLUS
DOCUMENT NUMBER: 144:70099
TITLE: Synthesis of glycidol- and sugar-derived bicyclic β- and γ/δ-amino acids for peptidomimetic design
AUTHOR(S): Danieli, Elisa; Trabocchi, Andrea; Menchi, Gloria; Guarna, Antonio
CORPORATE SOURCE: Dipartimento di Chimica Organica "Ugo Schiff", Universita degli Studi di Firenze, Polo Scientifico di Sesto Fiorentino, Sesto Fiorentino, 50019, Italy

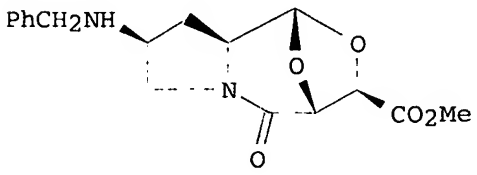
SOURCE: European Journal of Organic Chemistry (2005), (20), 4372-4381
CODEN: EJOCFK; ISSN: 1434-193X
PUBLISHER: Wiley-VCH Verlag GmbH & Co. KGaA
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 144:70099
GI



I II

AB Constrained bicyclic β- and γ/δ-amino acids I (R = H or CH2OBn; Fmoc = fluorenylmethoxycarbonyl, Bn = benzyl) and II were developed using glycidol and sugar derivs. The synthetic strategies involved epoxide ring opening of a glycidol derivative, and subsequent coupling with sugar-derived amines, leading to di- or trisubstituted bicyclic scaffolds after cyclization with trifluoroacetic acid. Achievement of β- or γ/δ-amino acids was accomplished by changing the protecting group strategy of the starting materials. Compatibility of the scaffold with solid-phase peptide synthesis was assessed by preparing model peptidomimetics using acid- and base-labile resins, thus giving a new tool for peptidomimetic design.
REFERENCE COUNT: 44 THERE ARE 44 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 3 OF 27 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2005:1084709 CAPLUS
DOCUMENT NUMBER: 144:7072
TITLE: Synthesis of a constrained tricyclic scaffold based on trans-4-hydroxy-L-proline
AUTHOR(S): Trabocchi, Andrea; Rolla, Massimo; Menchi, Gloria; Guarna, Antonio
CORPORATE SOURCE: Dipartimento di Chimica Organica Ugo Schiff, Polo Scientifico di Sesto Fiorentino, Universita degli Studi di Firenze, Sesto Fiorentino (FI), I-50019, Italy
SOURCE: Tetrahedron Letters (2005), 46(45), 7813-7816
CODEN: TELEAY; ISSN: 0040-4039
PUBLISHER: Elsevier B.V.
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 144:7072
GI



I

AB Drug discovery research has taken advantage of peptidomimetic chemical in

order to achieve new leads possessing structural and functional characteristics of bioactive peptides together with enhanced metabolic resistance towards proteases. Herein is reported the synthesis of a tricyclic peptidomimetic scaffold I derived from the combination of trans-4-hydroxy-L-proline and tartaric acid derivs. by means of amidation and acid trans-acetalization reactions. Further manipulations of the hydroxylic function on the pyrrolidine ring gave access to a new set of amino acid scaffolds possessing high rigidity and a fixed arrangement of the functional groups.

REFERENCE COUNT: 18 THERE ARE 18 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

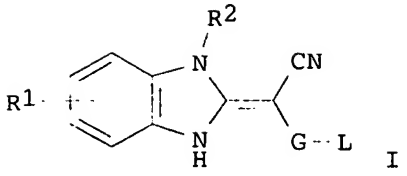
L6 ANSWER 4 OF 27 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2005:260058 CAPLUS
DOCUMENT NUMBER: 142:336382
TITLE: Preparation of benzimidazolylideneacetonitriles for treating metabolic disorders mediated by insulin resistance or hyperglycemia
INVENTOR(S): Schwarz, Mattias; Gaillard, Pascale; Page, Patrick; Gotteland, Jean-Pierre; Thomas, Russell J.
PATENT ASSIGNEE(S): Applied Research Systems ARS Holding N.V., Neth. Antilles
SOURCE: PCT Int. Appl., 128 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

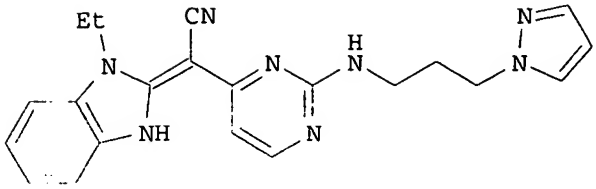
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005026155	A1	20050324	WO 2004-EP52137	20040910
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2004272306	A1	20050324	AU 2004-272306	20040910
CA 2534317	AA	20050324	CA 2004-2534317	20040910
EP 1667995	A1	20060614	EP 2004-766767	20040910
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK, HR				
NO 2006001614	A	20060410	NO 2006-1614	20060410

PRIORITY APPLN. INFO.: EP 2003-102741 A 20030912
WO 2004-EP52137 W 20040910

OTHER SOURCE(S): MARPAT 142:336382
GI



I



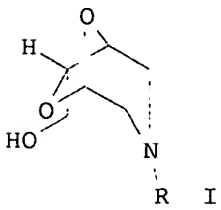
II

AB The title compds. I [G = pyrimidinyl; L = amino, 3-8 membered heterocycloalkyl, containing at least one heteroatom selected from N, O, S, or L = acylamino; R1 = H, sulfonyl, amino, carboxy, aminocarbonyl, alkyl, alkenyl, alkynyl, alkoxy, aryl, halo, cyano or hydroxy; R2 = H, alkyl, alkenyl, alkynyl, alkoxy], useful in the treatment of metabolic disorders mediated by insulin resistance or hyperglycemia, comprising diabetes type II, inadequate glucose tolerance, insulin resistance, obesity, polycystic ovary syndrome (PCOS), were prepared and formulated. E.g., a multi-step synthesis of II, was given. The compds. I were tested in GSK3β(h) in vitro assay (data given for representative compds. I).

REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 5 OF 27 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2004:304184 CAPLUS
DOCUMENT NUMBER: 141:54307
TITLE: Enantioselective addition of diethylzinc to aldehydes using 1,4-amino alcohols as chiral ligands
AUTHOR(S): Scarpi, Dina; Lo Galbo, Fabrizio; Occhiato, Ernesto G.; Guarna, Antonio
CORPORATE SOURCE: Dipartimento di Chimica Organica 'U. Schiff', Universita di Firenze, Sesto Fiorentino, 50019, Italy
SOURCE: Tetrahedron: Asymmetry (2004), 15(8), 1319-1324
CODEN: TASYE3; ISSN: 0957-4166
PUBLISHER: Elsevier Science B.V.
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 141:54307
GI



I

AB Conformationally constrained, optically active 1,4-amino alcs. I (R = Et, i-Pr, c-Hexyl, Bn) have been used as chiral ligands in the addition of diethylzinc to aromatic aldehydes. The enantioselectivity was strongly influenced by the N-alkyl group: the best results were achieved with

N-ethyl- and N-benzyl-amino alcs. One example of addition to an aliphatic aldehyde is also reported.

REFERENCE COUNT: 17 THERE ARE 17 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 6 OF 27 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2004:2706 CAPLUS
DOCUMENT NUMBER: 140:53449
TITLE: Pharmaceutical compositions for the treatment of diseases related to neurotrophins
INVENTOR(S): Guarna, Antonio; Cozzolino, Federico; Torcia, Maria; Garaci, Enrico
PATENT ASSIGNEE(S): Italy
SOURCE: PCT Int. Appl., 76 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004000324	A1	20031231	WO 2003-EP6471	20030618
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2489965	AA	20031231	CA 2003-2489965	20030618
AU 2003246559	A1	20040106	AU 2003-246559	20030618
EP 1551412	A1	20050713	EP 2003-760652	20030618
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
CN 1662242	A	20050831	CN 2003-814155	20030618
JP 2005530834	T2	20051013	JP 2004-514784	20030618
US 2006069092	A1	20060330	US 2004-518689	20041217
PRIORITY APPLN. INFO.:			IT 2002-FI107 A	20020619
			WO 2003-EP6471 W	20030618

OTHER SOURCE(S): MARPAT 140:53449

AB The invention refers to pharmaceutical prepns. including as active compds. 3-aza-bicyclo[3.2.1]octane derivs. and/or their dimers acting as agonists of human neurotrophins. Therefore, such compds. are useful for treatment of diseases in which the neurotrophin functions are involved in defect, particularly of Nerve Growth Factor (NGF), such as neurodegenerative diseases of central nervous system (CNS), acquired immunodeficiency due to a reduced NGF bioavailability, or morbous conditions in which the stimulus of neoangiogenesis process is convenient.

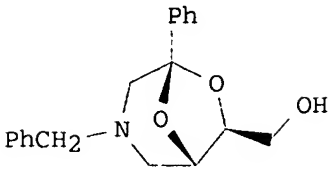
REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 7 OF 27 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2003:957358 CAPLUS
DOCUMENT NUMBER: 140:321342
TITLE: A solid-phase approach towards the development of 3-aza-6,8-dioxabicyclo[3.2.1]octane scaffolds
AUTHOR(S): Trabocchi, Andrea; Mancini, Francesco; Menchi, Gloria; Guarna, Antonio
CORPORATE SOURCE: Polo Scientifico di Sesto Fiorentino, Dipartimento di Chimica Organica 'Ugo Schiff', Universitadegli Studi

	di Firenze, Florence, Sesto Fiorentino, Italy
SOURCE:	Molecular Diversity (2003), 6(3-4), 245-250 CODEN: MODIF4; ISSN: 1381-1991
PUBLISHER:	Kluwer Academic Publishers
DOCUMENT TYPE:	Journal
LANGUAGE:	English
OTHER SOURCE(S):	CASREACT 140:321342

GI



I

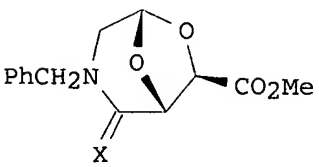
AB The development of new strategies for solid-phase synthesis of 3-aza-6,8-dioxabicyclo[3.2.1]octane scaffolds, named BTKa, e.g. I, is described. The preparation was made possible by the combination of three components: amines, α-halo-acetophenones, and sugar or tartaric acid derivs. By anchoring each of the three components it was possible to synthesize BTKa compds. either as amino alcs. or amido esters. The compatibility of the protocols with different classes of amines and substituted α-halo-acetophenones was demonstrated.

REFERENCE COUNT: 27 THERE ARE 27 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 8 OF 27 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER:	2003:689696 CAPLUS
DOCUMENT NUMBER:	140:217610
TITLE:	Neat reaction of carboxylic acid methyl esters and amines for efficient parallel synthesis of scaffold amide libraries
AUTHOR(S):	Machetti, Fabrizio; Bucelli, Ilaria; Indiani, Giovanni; Guarna, Antonio
CORPORATE SOURCE:	Dipartimento di Chimica Organica 'Ugo Schiff', Universita Degli Studi di Firenze and ICCOM-CNR, Sesto Fiorentino-Firenze, 50019, Italy
SOURCE:	Comptes Rendus Chimie (2003), 6(5-6), 631-633 CODEN: CRCOCR; ISSN: 1631-0748
PUBLISHER:	Editions Scientifiques et Medicales Elsevier
DOCUMENT TYPE:	Journal
LANGUAGE:	English
OTHER SOURCE(S):	CASREACT 140:217610

GI



I

AB Efficient synthesis of unsubstituted and substituted amides is described. The reaction is characterized by its mildness and ease of work-up. A library of amides, was prepared by heating esters I [X = O, S] with various amines.

REFERENCE COUNT: 17 THERE ARE 17 CITED REFERENCES AVAILABLE FOR THIS

RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 9 OF 27 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2003:496586 CAPLUS
DOCUMENT NUMBER: 139:261263
TITLE: Enantiospecific synthesis of 3-aza-6,8-dioxabicyclo[3.2.1]octanecarboxylic acids from erythrose
AUTHOR(S): Trabocchi, Andrea; Menchi, Gloria; Rolla, Massimo; Machetti, Fabrizio; Bucelli, Ilaria; Guarna, Antonio
CORPORATE SOURCE: Istituto di Chimica dei Composti Organometallici-C.N.R., Dipartimento di Chimica Organica "Ugo Schiff", Universita di Firenze, Sesto Fiorentino, Florence, I-50019, Italy
SOURCE: Tetrahedron (2003), 59(28), 5251-5258
CODEN: TETRAB; ISSN: 0040-4020
PUBLISHER: Elsevier Science B.V.
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 139:261263
AB New methodol. for the synthesis of enantiopure 3-aza-6,8-dioxabicyclo[3.2.1]octanecarboxylic acids belonging to 7-endo-BTAa sub-class of γ/δ amino acids is described. The novelty is the use of 2,3-O-isopropylidene-erythrose instead of meso-tartaric acid derivative, thus allowing us to perform an enantiospecific synthesis. Reductive amination of erythro lactol with aminoacetaldehyde di-Et acetal or benzylamine and subsequent acid cyclization gave directly the amino alc. scaffold. Protection of nitrogen as urethane and final alc. oxidation afforded the Fmoc-, Boc-, and Cbz-amino acids. The new synthetic route was applied on a multigram scale, thus resulting in a marked improvement of the synthesis of enantiopure 7-endo-BTG and 7-endo-BTK amino acids.
REFERENCE COUNT: 33 THERE ARE 33 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 10 OF 27 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2003:199584 CAPLUS
DOCUMENT NUMBER: 138:362606
TITLE: Molecular Shape Diversity of Combinatorial Libraries: A Prerequisite for Broad Bioactivity
AUTHOR(S): Sauer, Wolfgang H. B.; Schwarz, Matthias K.
CORPORATE SOURCE: Department of Chemistry, Serono Pharmaceutical Research Institute, Plan-les-Ouates, Geneva, 1228, Switz.
SOURCE: Journal of Chemical Information and Computer Sciences (2003), 43(3), 987-1003
CODEN: JCISD8; ISSN: 0095-2338
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English
AB A computational method to rapidly assess and visualize the diversity in mol. shape associated with a given compound set has been developed. Normalized ratios of principal moments of inertia are plotted into two-dimensional triangular graphs and then used to compare the shape space covered by different compound sets, such as combinatorial libraries of varying size and composition We have further developed a computational method to analyze interset similarity in terms of shape space coverage, which allows the shape redundancy between the different subsets of a given compound collection to be analyzed in a quant. way. The shape space coverage has been found to originate mainly from the nature and the 3D-geometry (but not the size) of the central scaffold, while the number and nature of the peripheral substituents and conformational aspects were shown to be of minor importance. Substantial shape space coverage has been correlated with broad biol. activity by applying the same shape anal. to collections of known bioactive compds., such as MDDR and the GOLD-set. The aggregate

of our results corroborates the intuitive notion that mol. shape is intimately linked to biol. activity and that a high degree of shape (hence scaffold) diversity in screening collections will increase the odds of addressing a broad range of biol. targets.

REFERENCE COUNT: 87 THERE ARE 87 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 11 OF 27 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2002:880603 CAPLUS

DOCUMENT NUMBER: 138:338122

TITLE: Synthesis of a new enantiopure bicyclic γ/δ-amino acid (BTKa) derived from tartaric acid and α-amino acetophenone

AUTHOR(S): Guarna, Antonio; Bucelli, Ilaria; Machetti, Fabrizio; Menchi, Gloria; Occhiato, Ernesto G.; Scarpi, Dina; Trabocchi, Andrea

CORPORATE SOURCE: Dipartimento di Chimica Organica "U. Schiff", Universita di Firenze and Istituto di Chimica dei Composti Organometallici-CNR, Florence, 50019, Italy

SOURCE: Tetrahedron (2002), 58(49), 9865-9870
CODEN: TETRAB; ISSN: 0040-4020

PUBLISHER: Elsevier Science Ltd.

DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 138:338122

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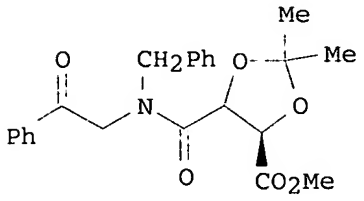
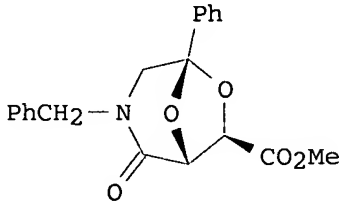


I



II

AB The synthesis of a novel enantiopure γ/δ-amino acid having the 3-aza-6,8-dioxabicyclo[3.2.1]octane structure was realized by the combination of tartaric acid derivs. and α-amino acetophenone followed by a trans-acetalization process. The tartaric acid derivs. used in this study included (4R,5R)-2,2-dimethyl-1,3-dioxolane-4,5-dicarboxylic acid monomethyl ester and (3R,4R)-3,4-bis(acetyloxy)dihydro-2,5-furandione (O,O'-diacetyl-L-tartaric anhydride). For example, condensation of 2-(benzylamino)acetophenone with (4R,5R)-2,2-dimethyl-1,3-dioxolane-4,5-dicarboxylic acid monomethyl ester [i.e., an (R,R)-tartaric acid derivative] gave I in 75% yield. Transacetalization of I in the presence of gave H2SO4/SiO2 gave (-)-(1R,5S,7R)-2-oxo-5-phenyl-3-(phenylmethyl)-6,8-dioxa-3-azabicyclo[3.2.1]octane-7-carboxylic acid Me ester (II) in 85% yield. Th bicyclic amino acid, which has a rigid skeleton and carries substituents at the 3, 5 and 7 positions of the scaffold, could find different applications in organic and peptidomimetic synthesis. Two synthetic strategies were studied, one of them allowing the multigram scale preparation of the amino acid.

REFERENCE COUNT: 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 12 OF 27 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2002:706544 CAPLUS

DOCUMENT NUMBER: 137:370350

TITLE: Synthesis and Conformational Analysis of Small Peptides Containing 6-Endo-BT(t)L Scaffolds as Reverse

Turn Mimetics

AUTHOR(S): Trabocchi, Andrea; Occhiato, Ernesto G.; Potenza, Donatella; Guarna, Antonio

CORPORATE SOURCE: Dipartimento di Chimica Organica "Ugo Schiff", Universita di Firenze, Polo Scientifico di Sesto Fiorentino, Sesto Fiorentino, Firenze, I-50019, Italy

SOURCE: Journal of Organic Chemistry (2002), 67(21), 7483-7492
CODEN: JOCEAH; ISSN: 0022-3263

PUBLISHER: American Chemical Society

DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 137:370350

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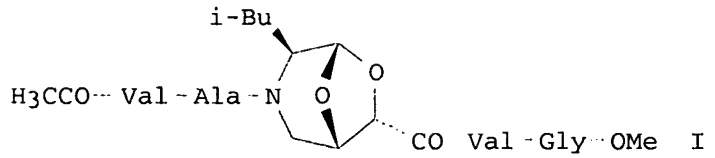

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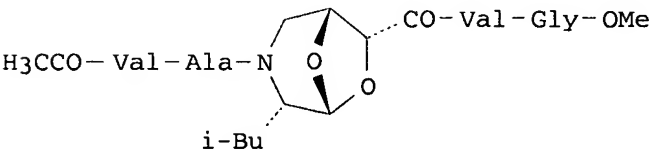


II

AB Two new dipeptide isosteres derived from L-leucine and meso-tartaric acid derivs., named 6-endo-BTL and 6-endo-BtL, were inserted in a small peptide by means of solid-phase peptide synthesis, and the conformational features of the resulting peptides I and II were studied by NMR, IR, and mol. modeling techniques. The presence of a reverse turn conformation was observed in all the structures, suggesting the key role of the scaffolds as reverse turn promoters. I and II did not adopt a preferred conformation as indicated by the presence of equilibrium between open turn and intramol. hydrogen-bonded structures. I showed a 3:1 mixture of conformers. The major conformer adopted mainly an open turn structure in equilibrium with hydrogen-bonded structures. The minor conformer displayed a better organized structure with a 14-membered ring hydrogen-bond typical of a β-hairpin-like structure, in equilibrium with a γ-turn, too. II showed a unique conformer, and did not adopt as good a conformation as I, due to the bulky equatorial substituent at C-2. Thus, marked structural differences between peptides containing 6-endo-BTL and 6-endo-BtL scaffolds as reverse turn inducers exist.

REFERENCE COUNT: 52 THERE ARE 52 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 13 OF 27 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2002:201199 CAPLUS

DOCUMENT NUMBER: 136:386364

TITLE: Bicyclic compounds derived from tartaric acid and α-amino acids (BTAas): synthesis of new molecular scaffolds derived from the combination of (R,R)-tartaric acid and L-serine

AUTHOR(S): Cini, Nicoletta; Machetti, Fabrizio; Menchi, Gloria; Occhiato, Ernesto G.; Guarna, Antonio

CORPORATE SOURCE: Dipartimento di Chimica Organica "U. Schiff" and Centro di Studio sulla Chimica e la Struttura dei Composti Eterociclici e loro Applicazioni, C.N.R., Polo Scientifico di Sesto Fiorentino, Universita di

Firenze, Sesto Fiorentino, 50019, Italy
SOURCE: European Journal of Organic Chemistry (2002), (5), 873-880
CODEN: EJOCFK; ISSN: 1434-193X
PUBLISHER: Wiley-VCH Verlag GmbH
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 136:386364

AB The synthesis of the new N-Fmoc-protected dipeptide isostere Me (1S,2S,5S,6R)-2exo-hydroxymethyl-7,8-dioxa-3-azabicyclo[3.2.1]octane-6exo-carboxylate (BTS) has been achieved, starting from (R,R)-tartaric acid and O-benzyl-L-serine, in 11% overall yield after 9 steps. Interestingly, starting from the same α-amino acid, it was also possible to prepare the 2endo-substituted compound, formally derived from the combination of tartaric acid with D-serine. Each compound has a CH2OH functional group at C-2, which is very useful for greater diversification of the 7,8-dioxa-3-azabicyclo[3.2.1]octane-6-carboxylate (BTAa) dipeptide isosteres. The oxidation of the C-2 carbinol group in BTS, moreover, gave rise to a novel, conformationally constrained, α-amino acid that may find application in peptidomimetic synthesis.

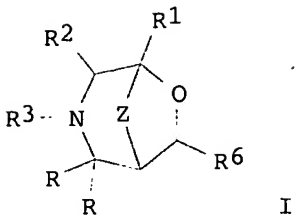
REFERENCE COUNT: 15 THERE ARE 15 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 14 OF 27 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2001:654699 CAPLUS
DOCUMENT NUMBER: 135:211044
TITLE: Preparation of 3-aza-6,8-dioxabicyclo[3.2.1]octanecarboxylates and analogs
INVENTOR(S): Guarna, Antonio; Menchi, Gloria; Occhiato, Ernesto Giovanni; Machetti, Fabrizio; Scarpi, Dina
PATENT ASSIGNEE(S): Universita Degli Studi di Firenze, Italy
SOURCE: Eur. Pat. Appl., 26 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 1130022	A1	20010905	EP 2000-104135	20000229
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
CA 2401693	AA	20010907	CA 2001-2401693	20010227
WO 2001064686	A1	20010907	WO 2001-EP2185	20010227
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
US 2003176414	A1	20030918	US 2002-220556	20021101

PRIORITY APPLN. INFO.: EP 2000-104135 A 20000229
WO 2001-EP2185 W 20010227

OTHER SOURCE(S): CASREACT 135:211044; MARPAT 135:211044
GI



I

AB Title compds. [e.g., I; RR = O or each R = H; R1 = (un)substituted Ph; R2 = H, Me, CH2Ph; R3 = (un)substituted phenyl(methyl), CH(CO2H)CH2Ph, allyl, etc.; R6 = H, Me, CO2H, CH2OH; Z = O or NH] were prepared Thus, PhCOCH2NHCH2Ph was N-acylated by 1,4-dioxane-2,3-dicarboxylic acid monomethyl ester and the product cyclized to give I (RR = O, R1 = R3 = CH2Ph, R2 = H, R6 = CO2Me, Z = O). The method is suitable for solid phase synthesis and the preparation of combinatorial libraries.

REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 15 OF 27 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2001:426038 CAPLUS

DOCUMENT NUMBER: 135:227220

TITLE: Introduction of the new dipeptide isostere 7-endo-BtA as reverse turn inducer in a Bowman-Birk proteinase inhibitor synthesis and conformational analysis

AUTHOR(S): Scarpi, D.; Occhiato, E. G.; Trabocchi, A.; Leatherbarrow, R. J.; Brauer, A. B. E.; Nievo, M.; Guarna, A.

CORPORATE SOURCE: Department of Chemistry, Imperial College of Science Technology and Medicine, South Kensington, London, SW7 2AY, UK

SOURCE: Bioorganic & Medicinal Chemistry (2001), 9(6), 1625-1632
CODEN: BMECEP; ISSN: 0968-0896

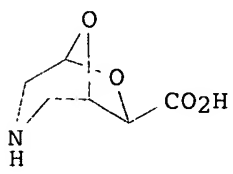
PUBLISHER: Elsevier Science Ltd.

DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 135:227220

GI



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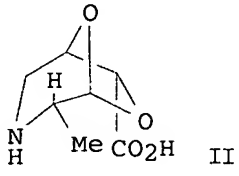


II

AB Two dipeptide isosteres 7-exo-BTG, I, and 7-endo-BtA, II, were inserted into an 11-residue peptide, H-Ser-cyclo(Cys-Thr-Phe-Ser-Ile-Pro-Pro-Gln-Cys)-Tyr-OH, derived from the Bowman Birk Inhibitor (BBI) class of serine protease inhibitors, and the conformational properties of these modified peptides were studied by NMR and mol. modeling. II, obtained from L-alanine and meso tartaric acid, gave rise to the modified BBI peptide, H-Ser-cyclo(Cys-Thr-Phe-Ser-(7-endo-BtA)-Pro-Gln-Cys)-Tyr-OH, whose structure was very similar to that of the original peptide, suggesting a possible reverse turn inducing property for this dipeptide isostere.

REFERENCE COUNT: 17 THERE ARE 17 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 16 OF 27 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2000:836451 CAPLUS
DOCUMENT NUMBER: 134:178261
TITLE: Stereoselective Meisenheimer rearrangement using BTAa's as chiral auxiliaries
AUTHOR(S): Guarna, A.; Occhiato, E. G.; Pizzetti, M.; Scarpi, D.; Sisi, S.; van Sterkenburg, M.
CORPORATE SOURCE: Dipartimento di Chimica Organica 'U. Schiff' and Centro di Studio sulla Chimica e la Struttura dei Composti Eterociclici e loro Applicazioni, CNR, Universita di Firenze, Florence, I-50121, Italy
SOURCE: Tetrahedron: Asymmetry (2000), 11(20), 4227-4238
CODEN: TASYE3; ISSN: 0957-4166
PUBLISHER: Elsevier Science Ltd.
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 134:178261
AB The Meisenheimer rearrangement involves the [2,3]-sigmatropic rearrangement of allylic tertiary amine-N-oxides to O-allyl hydroxylamines. Various BTAa's (bicycles derived from tartaric acid and α-amino acids) were employed as chiral auxiliaries in the Meisenheimer rearrangement of the N-oxides of N-allylamines obtained by the coupling of BTAa's with cinnamyl bromide and (E)-2-methyl-2-pentenyl acetate. While the formation of the N-oxides was highly diastereoselective, the asym. induction in the rearrangement was generally low. However, the interaction between the 4-endo group on the BTAa and a 2'-substituent on the allylic moiety allowed a more efficient chirality transfer in the [2,3]-sigmatropic process, affording d.e. values as high as 65% in the best case. The cleavage of the N-O bond in the rearrangement products was possible by using Mo(CO)6 with a good recovery of both alc. and chiral auxiliary.
REFERENCE COUNT: 17 THERE ARE 17 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 17 OF 27 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2000:792847 CAPLUS
DOCUMENT NUMBER: 134:101172
TITLE: Oligomers of enantiopure bicyclic γ/δ-amino acids (BTAa). 1. Synthesis and conformational analysis of 3-aza-6,8-dioxabicyclo[3.2.1]octane-7-carboxylic acid oligomers (PolyBTG)
AUTHOR(S): Machetti, Fabrizio; Ferrali, Alessandro; Menchi, Gloria; Occhiato, Ernesto G.; Guarna, Antonio
CORPORATE SOURCE: Dipartimento di Chimica Organica U. Schiff and Centro di Studio sulla Chimica e la Struttura dei Composti Eterociclici e loro Applicazioni C.N.R., Universita di Firenze, Florence, I-50121, Italy
SOURCE: Organic Letters (2000), 2(25), 3987-3990
CODEN: ORLEF7; ISSN: 1523-7060
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 134:101172
AB A series of dimeric through pentameric oligomers of a bicyclic γ/δ-amino acid (BTG) were synthesized using peptide coupling methods in solution with PyBroP (bromo-tris-pyrrolidino-phosphonium hexafluorophosphate) or HATU [O-(7-azabenzotriazol-1-yl)-1,1,3,3-tetramethyluroniumhexafluorophosphate]. The anal. of 1H NMR and CD spectra suggests that these oligomers could have a partially ordered structure in alc. solns.
REFERENCE COUNT: 28 THERE ARE 28 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 18 OF 27 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2000:442927 CAPLUS
DOCUMENT NUMBER: 134:193695
TITLE: Synthesis and reactivity of bicycles derived from tartaric acid and α-amino acids: a novel class of conformationally constrained dipeptide isosteres based upon enantiopure 3-aza-6,8-dioxabicyclo[3.2.1]octane-7-carboxylic acid. [Erratum to document cited in CA131:337331]
AUTHOR(S): Guarna, Antonio; Guidi, Antonio; Machetti, Fabrizio; Menchi, Gloria; Occhiato, Ernesto G.; Scarpi, Dina; Sisi, Sauro; Trabocch, Andrea
CORPORATE SOURCE: Department of Organic Chemistry U. Schiff and Center of Heterocyclic Compounds, University of Florence, Florence, I-50121, Italy
SOURCE: Journal of Organic Chemistry (2000), 65(15), 4782
CODEN: JOCEAH; ISSN: 0022-3263
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English
AB On page 7358, 1H NMR data for compound 60 should read: δ 9.32 (s, 1 H), 7.40-7.00 (m, 10 H), 5.34 (d, J = 5.8 Hz, 1 H), 4.95 (d, J = 5.8 Hz, 1 H), 4.90 (d, J = 15.4 Hz, 1 H), 3.86 (s, 3 H), 3.51 (dd, J = 8.3, 4.4 Hz, 1 H), 3.36 (dd, J = 13.9, 4.4 Hz, 1 H), 3.19 (d, J = 15.4 Hz, 1 H), 3.16 (dd, J = 13.9, 8.3 Hz, 1 H), 1.49 (s, 3 H), 1.43 (s, 3 H).".

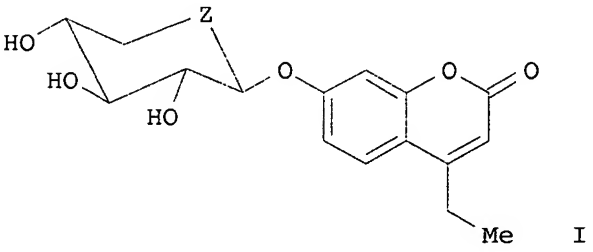
L6 ANSWER 19 OF 27 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1999:580083 CAPLUS
DOCUMENT NUMBER: 131:337331
TITLE: Synthesis and Reactivity of Bicycles Derived from Tartaric Acid and α-Amino Acids: A Novel Class of Conformationally Constrained Dipeptide Isosteres Based upon Enantiopure 3-Aza-6,8-dioxabicyclo[3.2.1]octane-7-carboxylic Acid
AUTHOR(S): Guarna, Antonio; Guidi, Antonio; Machetti, Fabrizio; Menchi, Gloria; Occhiato, Ernesto G.; Scarpi, Dina; Sisi, Sauro; Trabocchi, Andrea
CORPORATE SOURCE: Department of Organic Chemistry U. Schiff and Center of Heterocyclic Compounds C.N.R., University of Florence, Florence, I-50121, Italy
SOURCE: Journal of Organic Chemistry (1999), 64(20), 7347-7364
CODEN: JOCEAH; ISSN: 0022-3263
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 131:337331
AB 3-Aza-6,8-dioxabicyclo[3.2.1]octane-7-carboxylic acids (named BTAa) derived from (R,R)-, (S,S)-, or meso-tartaric acid and natural (L), unnatural (D), or unusual α-amino acids are described as conformationally constrained dipeptide isosteres. The general strategy developed for their preparation has required the transformation of the amino acids into the corresponding N-benzyl-amino alcs., followed by the PyBroP-promoted condensation with the monomethyl ester of the suitable 2,3-di-O-isopropylidene-tartaric acid. Oxidation of the hydroxy group to aldehyde and subsequent acid-catalyzed trans-acetalization with the two hydroxy groups of the tartaric acid moiety provided 3-aza-2-oxo-6,8-dioxabicyclo[3.2.1]octane-7-carboxylic acid Me esters [named BTAa(O)] in good yield and, in most cases, as single enantiopure diastereoisomers. This strategy has been applied to the preparation of BTAa(O) starting from (R,R)-, (S,S)-, or meso-tartaric acid and glycine, L- and D-phenylalanine, L- and D-alanine, and (±)-phenylglycine. In the cases of glycine, L- and D-phenylalanine, and L- and D-alanine, the selective reduction by BH3·DMS of the amide group succeeding to the cyclization step, or the reduction of both amide and ester functions followed by reoxidn. of the hydroxy to carboxylic group, provided in good yield the

3-aza-3-benzyl-6,8-dioxabicyclo[3.2.1]octane-7-carboxylic acids (or their Me ester) BTAa, having the side chain of the amino acid precursors at position 4. The stability and rigidity of the bicyclic skeleton, the complete control of all the stereo-centers, the possibility of introducing the side chains of L- or D-amino acids, and the demonstrated compatibility with the conditions required for solid-phase peptide synthesis make the BTAa compds. potential dipeptide isosteres useful for the synthesis of modified peptides.

REFERENCE COUNT: 25 THERE ARE 25 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 20 OF 27 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1998:482695 CAPLUS
DOCUMENT NUMBER: 129:245379
TITLE: Carbaxylosides of 4-ethyl-2-oxo-2H-benzopyran-7-yl as nonhydrolyzable, orally active venous antithrombotic agents
AUTHOR(S): Jeanneret, Vincent; Vogel, Pierre; Renaut, Patrice; Millet, Jean; Theveniaux, Jocelyne; Barberousse, Veronique
CORPORATE SOURCE: Institut de Chimie Organique de l'Universite de Lausanne, BCH, Lausanne-Dorigny, CH-1015, Switz.
SOURCE: Bioorganic & Medicinal Chemistry Letters (1998), 8(13), 1687-1688
CODEN: BMCLE8; ISSN: 0960-894X
PUBLISHER: Elsevier Science Ltd.
DOCUMENT TYPE: Journal
LANGUAGE: English
GI



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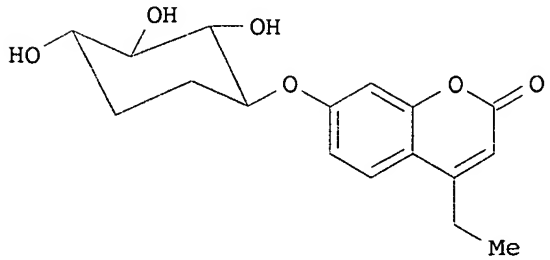


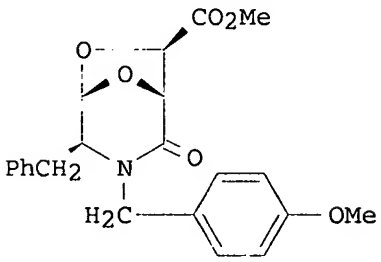
II

AB A (-)-conduritol F derivative (I; R = H, R1 = SiMe2CMe3) was condensed with 4-ethyl-7-hydroxy-2H-1-benzopyran-2-one and converted into (+)-4-ethyl-7-[(1'R,2'S,3'S,4'R)-2',3',4'-trihydroxycyclohexyloxy]-2H-1-benzopyran-2-one [(+)-I; R = Q, R1 = H]. Enantiomer (-)-II was obtained from a (+)-conduritol F derivative The carba-L-xyloside (-)-II with the L-xylose configuration was more active than carba-D-xyloside (+)-I (R = Q, R1 = H) in rat for antithrombotic activity in the modified Wessler's model.

REFERENCE COUNT: 18 THERE ARE 18 CITED REFERENCES AVAILABLE FOR THIS

RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 21 OF 27 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1997:687564 CAPLUS
DOCUMENT NUMBER: 128:13232
TITLE: Condensation product between (R,R)-tartaric acid and a L-phenylalanine derivative as a new molecular scaffold
AUTHOR(S): Guidi, Antonio; Guarna, Antonio; Giolitti, Alessandro; Macherelli, Michele; Menchi, Gloria
CORPORATE SOURCE: Dipartimento Ricerca Chimica, Menarini Ricerche S.p.A., Florence, I-50131, Italy
SOURCE: Archiv der Pharmazie (Weinheim, Germany) (1997), 330(7), 201-202
CODEN: ARPMAS; ISSN: 0365-6233
PUBLISHER: Wiley-VCH
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 128:13232
GI



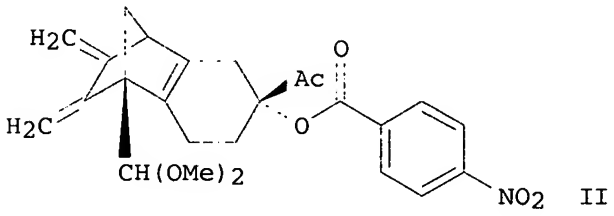
I

AB Condensation of N-(methoxybenzyl)phenylalaninol with isopropylidene-protected (R,R)-tartaric acid monomethyl ester gave after Swern oxidation and cyclization of the resulting aldehyde the azadioxabicyclo[3.2.1]octane I which was studied by conformational anal.

L6 ANSWER 22 OF 27 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1996:560577 CAPLUS
DOCUMENT NUMBER: 125:275475
TITLE: Asymmetric Synthesis and DNA Intercalation of (-)-6-[[(Aminoalkyl)oxy]methyl]-4-demethoxy-6,7-dideoxydaunomycinones
AUTHOR(S): Dienes, Zoltan; Vogel, Pierre
CORPORATE SOURCE: Section de Chimie de l'Universite, BCH, Lausanne-Dorigny, 1015, Switz.
SOURCE: Journal of Organic Chemistry (1996), 61(20), 6958-6970
CODEN: JOCEAH; ISSN: 0022-3263
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English
AB The BF3·Et2O-promoted Diels-Alder addition of 1-acetylvinyl RADO(Et)-ate [RADO(Et)-ate = 3-ethyl-2-oxo-6,8-dioxa-3-azabicyclo[3.2.1]octane-7-exo-carboxylate] to 1-(dimethoxymethyl)-2,3,5,6-tetramethylidene-7-oxabicyclo[2.2.1]heptane led to one major monoadduct that added to 1,2-didehydrobenzene and was converted into (-)-4-demethoxy-7-deoxydaunomycinone and (2R)-12-acetoxy-2-acetyl-5-(bromomethyl)-1,2,3,4-tetrahydronaphthacen-2-yl RADO(Et)-ate. The latter compound was used to construct (8R)-8-acetyl-6,8-dihydroxy-11-(ω-aminoalkoxy)methyl-7,8,9,10-tetrahydronaphthacene-5,12-dione hydrochloride (I, alkyl = Pr, Bu, pentyl) as well as (8R)-8-acetyl-6,8-dihydroxy-11-(3-aminopropylaminoalkoxymethyl)-7,8,9,10-tetrahydronaphthacene-5,12-dione

hydrochloride (II, alkyl = Et, Pr). (8R)-8-Acetyl-6,8-dihydroxy-11-[[(α-L-daunosaminyl)oxy]methyl]-7,8,9,10-tetrahydronaphthacene-5,12-dione hydrochloride (III), a mimic of idarubicin, was also prepared Absorbance and fluorescence titration expts. showed I to intercalate calf thymus DNA whereas II and III did not. The best intercalator was I with the 4-aminobutoxymethyl chain (Kb = (1.1 ± 0.1) + 105 M-1). Inhibition of topoisomerase II-induced DNA strand religation was observed for I (alkyl = propyl) at a concentration of 50 μM.

L6 ANSWER 23 OF 27 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1995:637715 CAPLUS
DOCUMENT NUMBER: 123:285463
TITLE: Remote substituent effect on the electrophilic additions of 1,3-dienes. Synthesis of (2R)-5-(acetoxymethyl)-2-acetyl-1,2,3,4-tetrahydro-10-methoxynaphthacene-2,12-diyl diacetate
AUTHOR(S): Mosimann, Herve; Dienes, Zoltan; Vogel, Pierre
CORPORATE SOURCE: Section chemie, Univ. Lausanne, Lausanne, 1015, Switz.
SOURCE: Tetrahedron (1995), 51(23), 6495-510
CODEN: TETRAB; ISSN: 0040-4020
PUBLISHER: Pergamon
DOCUMENT TYPE: Journal
LANGUAGE: English
GI



II

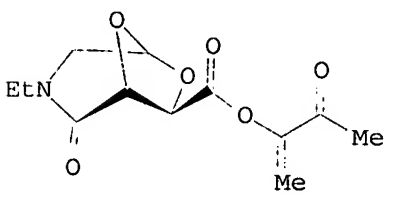
AB The addition of one equivalent of 2-nitrobenzenesulfenyl chloride to 1-(dimethoxymethyl)-2,3,4,6-tetramethylidene-7-oxabicyclo[2.2.1]heptane (I) is highly regioselective giving 2-(chloromethyl)-1-(dimethoxymethyl)-5,6-dimethylidene-3-[(2-nitrophenylthio)methyl]-7-oxabicyclo[2.2.1]hept-2-ene. The reaction of 2-nitrobenzenesulfenyl chloride with 8-(dimethoxymethyl)-9,10-dimethylidene-11-oxatricyclo[6.2.1.02,7]undec-2(7)-en-4-yl Me ketone derivs., e.g. II, was also regioselective giving mixts. of 1,2- rather than 1,4-adducts resulting from competitive Markovnikov and anti-Markovnikov modes of addition, the olefinic moiety the furthest from the 8-dimethoxymethyl substituent being preferred. These adducts underwent base-induced eliminations with the formation of exocyclic thio- and chlorosubstituted dienes that added to 2,3-didehydroanisole to give products resulting from highly "ortho" regioselective Diels-Alder addns. The regioselectivity was the same whether 2,3-didehydroanisole was generated by nitrosation of 3-methoxy- or 6-methoxy-2-aminobenzoic acid. By applying these regioselective reactions to the Diels-Alder monoadduct of I enantiopure (2R)-2-acetyl-1,2,3,4-tetrahydro-10-methoxynaphthacene-2,5-diyl diacetate and (2R)-5-(acetoxymethyl)-2-acetyl-1,2,3,4-tetrahydro-10-methoxynaphthacene-2,12-diyl diacetate were prepared

L6 ANSWER 24 OF 27 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1995:470997 CAPLUS
DOCUMENT NUMBER: 122:314339
TITLE: Synthesis of (-)-6-(3'-aminopropyloxy)methyl-4-dimethoxy-6,7-dideoxy-daunomycinone, a new DNA intercalator related to anthracyclines

AUTHOR(S): Dienes, Zoltan; Vogel, Pierre
CORPORATE SOURCE: Sect. Chim. Univ. Lausanne, Lausanne, CH-1015, Switz.
SOURCE: Bioorganic & Medicinal Chemistry Letters (1995), 5(6), 547-50
CODEN: BMCLE8; ISSN: 0960-894X
PUBLISHER: Elsevier
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 122:314339

AB The hydrochloride of (-)-(8R)-8-acetyl-7,8,9,10-tetrahydro-6,8-dihydroxy-11-[(3'-aminopropyloxy)methyl]naphthacene-5,12-dione was derived from 1-(dimethoxymethyl)-2,3,5,6-tetramethylidene-7-oxabicyclo[2.2.2.]heptane and shown to be a moderate intercalator of calf thymus DNA type XV.

L6 ANSWER 25 OF 27 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1993:254509 CAPLUS
DOCUMENT NUMBER: 118:254509
TITLE: Enantioselective synthesis of (R)-(-)-2-acetyl-2,5,12-trihydro-1,2,3,4-tetrahydro-6,11-naphthacenequinone via diastereoselective Diels-Alder cycloaddition
AUTHOR(S): Dienes, Zoltan; Antonsson, Thomas; Vogel, Pierre
CORPORATE SOURCE: Sect. Chim., Univ. Lausanne, Lausanne, CH 1005, Switz.
SOURCE: Tetrahedron Letters (1993), 34(6), 1013-16
CODEN: TELEAY; ISSN: 0040-4039
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 118:254509
GI



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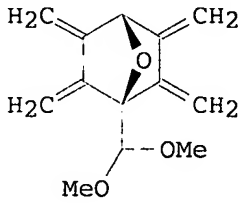

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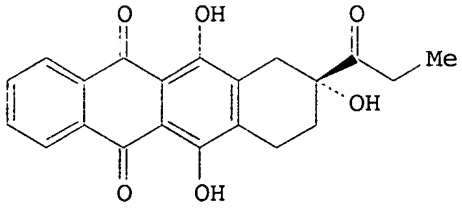

III

AB The BF3·Et2O-promoted Diels-Alder addition of 1-acetylvinyl (1'R,5'S,7'R)-3'-ethyl-2'-oxo-3'-aza-6',8'-dioxabicyclo[3.2.1]octane-7'-carboxylate (I) to 1-(dimethoxymethyl)-2,3,5,6-tetramethylidene-7-oxabicyclo[2.2.1]heptane (II) was highly regio-, stereo and diastereoselective giving monoadduct that was converted into (R)-(-)-4-demethoxy-7-deoxydaunomycinone (III).

L6 ANSWER 26 OF 27 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1991:408441 CAPLUS
DOCUMENT NUMBER: 115:8441
TITLE: New chiral auxiliaries and new optically pure ketene equivalents derived from tartaric acids. Improved synthesis of (-)-7-oxabicyclo[2.2.1]hept-5-en-2-one
AUTHOR(S): Reymond, Jean Louis; Vogel, Pierre
CORPORATE SOURCE: Sec. Chim., Univ. Lausanne, Lausanne, CH-1005, Switz.

SOURCE: Tetrahedron: Asymmetry (1990), 1(10), 729-36
CODEN: TASYE3; ISSN: 0957-4166
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 115:8441
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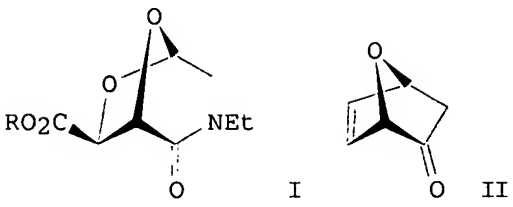

AB Condensation of di-O-acetyl-(R,R)- and (S,S)-tartaric anhydride with acetals of N-alkylaminoacetaldehyde gave new chiral auxiliaries (1R,5S,7R)- and (1S,5R,7S)-3-alkyl-2-oxo-3-aza-6,8-dioxabicyclo[3.2.1]octane-7-carboxylates, e.g. I (R = Me). These were converted to 1-cyanovinyl esters I [R = C(CN):CH2] that add to furan to give readily crystallizable, optically pure Diels-Alder adducts.

L6 ANSWER 27 OF 27 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1991:82223 CAPLUS
DOCUMENT NUMBER: 114:82223
TITLE: Application of new optically pure ketene equivalents derived from tartaric acids to the total, asymmetric syntheses of (+)-6-deoxycastanospermine and (+)-6-deoxy-6-fluorocastanospermine
AUTHOR(S): Reymond, Jean Louis; Vogel, Pierre
CORPORATE SOURCE: Sect. Chim., Univ. Lausanne, Lausanne, CH-1005, Switz.
SOURCE: Journal of the Chemical Society, Chemical Communications (1990), (16), 1070-2
CODEN: JCCCAT; ISSN: 0022-4936
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 114:82223
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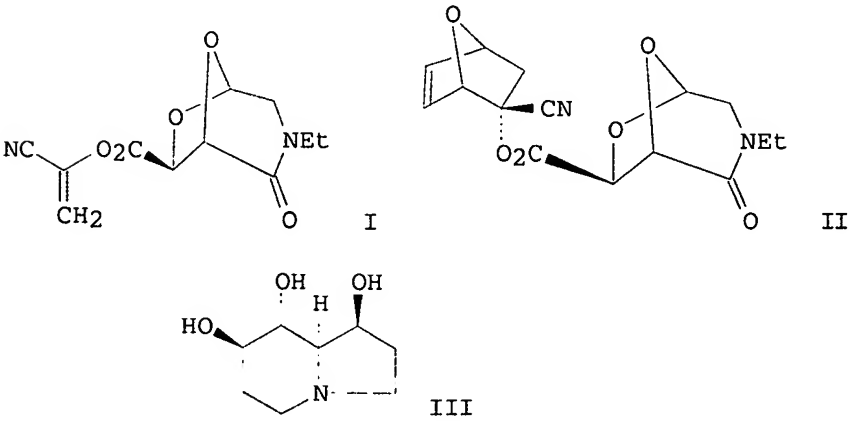

AB Condensation of di-O-acetyl-(S,S)-tartaric anhydride with the di-Et acetal

of N-ethylaminoacetaldehyde gave (1S,5S,7S)-3-ethyl-2-oxo-6,8-dioxa-3-azabicyclo[3.2.1]octane-7-carboxylic acid whose 1-cyanovinyl ester I added to furan to give, after two recrystns., an optically pure 7-oxabicyclo[2.2.1]hept-5-en-2-yl derivative II that was converted into (+)-6-deoxycastanospermine (III) and (+)-6-deoxy-6-fluorocastanopermine.

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L5             776 S L3 FULL

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